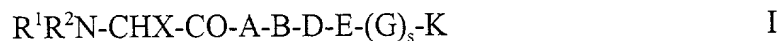


## CLAIMS

What is claimed is:

- 5 1. Novel peptides of the formula I



where

$\text{R}^1$  is hydrogen, methyl; or ethyl;

$\text{R}^2$  is methyl; or ethyl; or

- 10  $\text{R}^1\text{-N-R}^2$  together are a pyrrolidine ring;

A is a valyl, isoleucyl, allo-isoleucyl, 2-tert-butylglycyl, 2-ethylglycyl, norleucyl or norvalyl residue;

B is a N-methyl-valyl, N-methyl-norvalyl, N-methyl-leucyl, N-methyl-isoleucyl, N-methyl-2-tert-butylglycyl, N-methyl-2-ethylglycyl, or N-methyl-norleucyl residue;

15

D is a prolyl, homoprolyl, hydroxyprolyl, or thiazolidine-4-carbonyl residue;

E is a prolyl, homoprolyl, hydroxyprolyl, thiazolidine-4-carbonyl, trans-4-fluoro-L-prolyl, cis-4-fluoro-L-prolyl, trans-4-chloro-L-prolyl or cis-4-chloro-L-prolyl residue;

20

X is ethyl, propyl, butyl, isopropyl, sec. butyl, tert.-butyl, cyclopropyl, or cyclopentyl;

G is a L-2-tert.butylglycyl, D-2-terr.butylglycyl, D-valyl, D-isoleucyl, D-leucyl, D-norvalyl, 1-aminopentyl-1-carbonyl, or 2,2-dimethylglycyl residue;

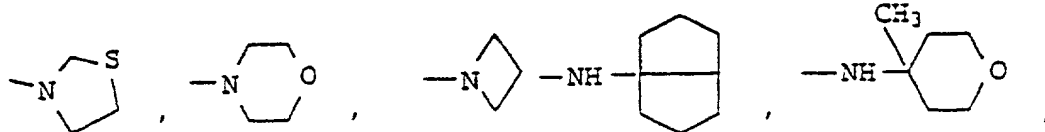
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s is 0 or 1;

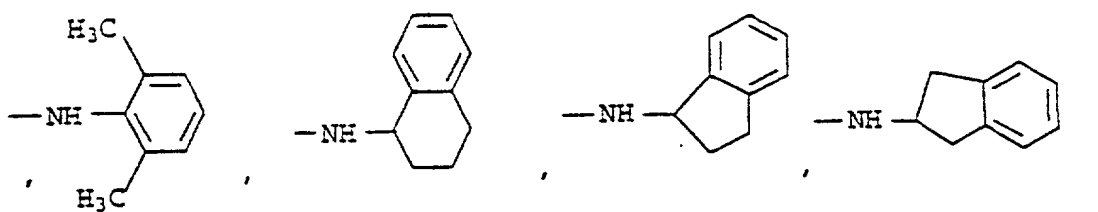
K is  $\text{-NH-C}_{1-8}\text{-alkyl}$ ,  $\text{-NH-C}_{3-8}\text{-alkenyl}$ ,  $\text{-NH-C}_{3-8}\text{-alkinyl}$ ,  $\text{-NH-C}_{6-8}\text{-cycloalkyl}$ ,  $\text{-NH-C}_{1-4}\text{-alkene-C}_{3-8}\text{-cycloalkyl}$ ,  $\text{C}_{1-4}\text{-alkyl-N-C}_{1-6}\text{-alkyl}$ , in which residues one  $\text{CH}_2$  group may be replaced by O or

S, one H by phenyl or cyano, or 1, 2 or 3 H by F, except the N-methoxy-N-methylamino, N-benzylamino, or N-methyl-N-benzylamino residue, or K is

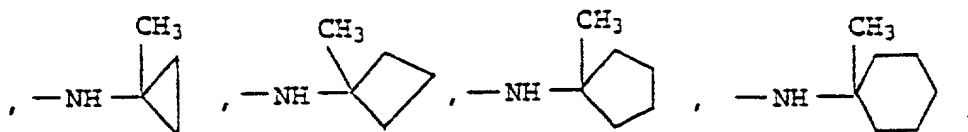
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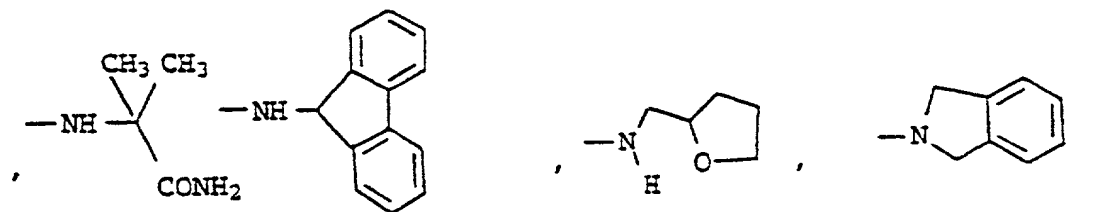
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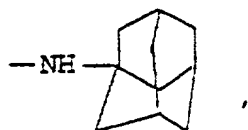
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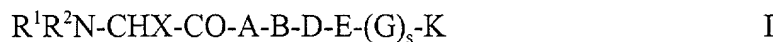


25



and the salts thereof with physiologically tolerated acids.

## 2. Novel peptides of the formula I

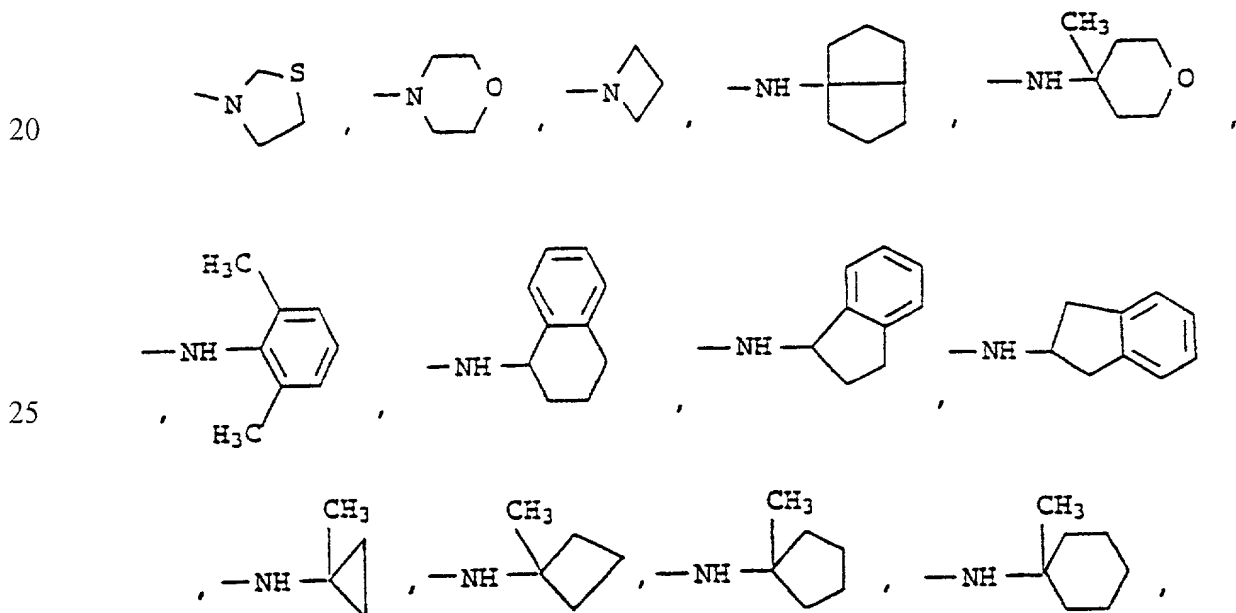


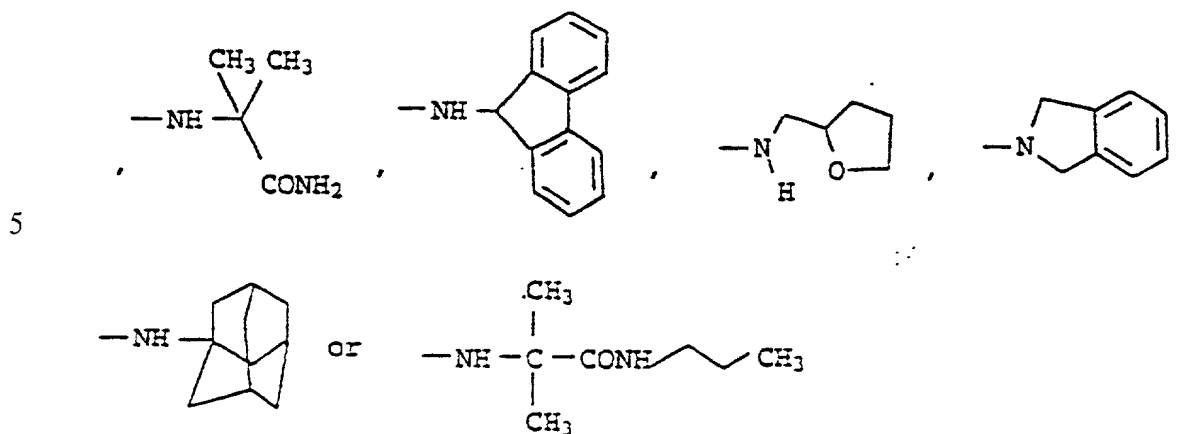
where

- $R^1$  is hydrogen, methyl; or ethyl;  
 5  $R^2$  is methyl; or ethyl ; or  
 $R^1-N-R^2$  together are a pyrrolidine ring;  
 $A$  is a valyl, isoleucyl, allo-isoleucyl, 2-tert-butylglycyl, 2-ethylglycyl, norleucyl or norvalyl residue;  
 $B$  is a N-methyl-valyl, N-methyl-norvalyl, N-methyl-leucyl, N-methyl-isoleucyl, N-methyl-2-tert-butylglycyl, N-methyl-2-ethylglycyl, or N-methyl-norleucyl residue;  
 10  $D$  is a prolyl, homoprolyl, hydroxyprolyl, or thiazolidine-4-carbonyl residue;  
 $E$  is a prolyl, homoprolyl, hydroxyprolyl, thiazolidine-4-carbonyl, trans-4-fluoro-L-prolyl, cis-4-fluoro-L-prolyl, trans-4-chloro-L-prolyl or cis-4-chloro-L-prolyl residue;  
 15  $X$  is ethyl, propyl, butyl, isopropyl, sec. butyl, tert.butyl, cyclopropyl, or cyclopentyl;  
 $G$  is a L-2-tert.butylglycyl, D-2-terr.butylglycyl, D-valyl, D-isoleucyl, D-leucyl, D-norvalyl, 1-aminopentyl-1-carbonyl, or 2,2-dimethylglycyl residue;  
 20  $s$  is 0 or 1;  
 $K$  -NHCH<sub>3</sub>, -NHCH<sub>2</sub>CH<sub>3</sub>, -NH(CH<sub>2</sub>)<sub>2</sub>CH<sub>3</sub>, -NH(CH<sub>2</sub>)<sub>3</sub>CH<sub>3</sub>, -NH(CH<sub>2</sub>)<sub>4</sub>CH<sub>3</sub>, -NH(CH<sub>2</sub>)<sub>5</sub>CH<sub>3</sub>, -NH(CH<sub>2</sub>)<sub>6</sub>CH<sub>3</sub>,  
 25 -NHCH(CH<sub>2</sub>)<sub>7</sub>CH<sub>3</sub>, -NHCH(CH<sub>3</sub>)<sub>2</sub>, -NHCH(CH<sub>3</sub>)CH<sub>2</sub>CH<sub>3</sub>, -NHCH(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, -NHCH(CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, -NHC(CH<sub>3</sub>)<sub>3</sub>, -NHCH(CH<sub>2</sub>CH<sub>3</sub>)CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -NHCH(CH<sub>3</sub>)CH(CH<sub>3</sub>)<sub>2</sub>, -NHCH(CH<sub>2</sub>CH<sub>3</sub>)CH(CH<sub>3</sub>)<sub>2</sub>, -NHCH(CH<sub>3</sub>)C(CH<sub>3</sub>)<sub>3</sub>, -NH-cyclohexyl, -NH-cycloheptyl, -NH-cyclooctyl,

- N(CH<sub>3</sub>)OCH<sub>2</sub>CH<sub>3</sub>, -N(CH<sub>3</sub>)OCH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -N(CH<sub>3</sub>)OCH(CH<sub>3</sub>)<sub>2</sub>,  
 -N(CH<sub>3</sub>)O(CH<sub>2</sub>)<sub>3</sub>CH<sub>3</sub>, -N(CH<sub>3</sub>)OCH<sub>2</sub>C<sub>6</sub>H<sub>5</sub>, -NH(CH<sub>2</sub>)<sub>2</sub>C<sub>6</sub>H<sub>5</sub>,  
 -NH(CH<sub>2</sub>)<sub>3</sub>C<sub>6</sub>H<sub>5</sub>, -NHCH(CH<sub>3</sub>)C<sub>6</sub>H<sub>5</sub>, -NHC(CH<sub>3</sub>)<sub>2</sub>C<sub>6</sub>H<sub>5</sub>,  
 -NHC(CH<sub>3</sub>)<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -NHC(CH<sub>3</sub>)(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>, -NHCH[CH(CH<sub>3</sub>)<sub>2</sub>]<sub>2</sub>,  
 -NHC(CH<sub>3</sub>)<sub>2</sub>CN, -NHCH(CH<sub>3</sub>)CH(OH)C<sub>6</sub>H<sub>5</sub>, -NHCH<sub>2</sub>-cyclohexyl,  
 -NHCH<sub>2</sub>C(CH<sub>3</sub>)<sub>3</sub>, -NHCH<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>, -NHCH<sub>2</sub>CF<sub>3</sub>, -NHCH(CH<sub>2</sub>F)<sub>2</sub>,  
 -NHCH<sub>2</sub>CH<sub>2</sub>F, -NHCH<sub>2</sub>CH<sub>2</sub>OCH<sub>3</sub>, -NHCH<sub>2</sub>CH<sub>2</sub>SCH<sub>3</sub>,  
 -NHCH<sub>2</sub>CHCH<sub>2</sub>, -NH-C(CH<sub>3</sub>)<sub>2</sub>CH=CH<sub>2</sub>, -NHC(CH<sub>3</sub>)<sub>2</sub>C≡CH,  
 -NHC(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>C≡CH, -NHC(CH<sub>3</sub>)<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OH,  
 -NH(CH<sub>2</sub>CH<sub>2</sub>O)<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -NHC(CH<sub>3</sub>)<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>,  
 -NHC(CH<sub>3</sub>)<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -NHC(CH<sub>3</sub>)<sub>2</sub>CH<sub>2</sub>C<sub>6</sub>H<sub>5</sub>,  
 -N(OCH<sub>3</sub>)CH(CH<sub>3</sub>)<sub>2</sub>, -N(OCH<sub>3</sub>)CH<sub>2</sub>CH<sub>3</sub>, -N(OCH<sub>3</sub>)CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>,  
 -N(OCH<sub>3</sub>)CH<sub>2</sub>C<sub>6</sub>H<sub>5</sub>, -N(OCH<sub>3</sub>)C<sub>6</sub>H<sub>5</sub>, -N(CH<sub>3</sub>)OC<sub>6</sub>H<sub>5</sub>,  
 -NHCH[CH(CH<sub>3</sub>)<sub>2</sub>]<sub>2</sub>, -N(OCH<sub>3</sub>)CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>,

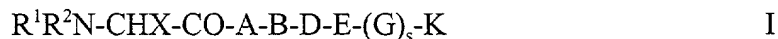
or K is





And the salts thereof with physiologically tolerated acids.

3. Novel peptides of the formula I



15 where

$R^1$  is hydrogen, methyl; or ethyl;

$R^2$  is methyl; or ethyl ;

A is a valyl, isoleucyl, 2-tert-butylglycyl, 2-ethylglycyl, norleucyl or norvalyl residue;

20 B is a N-methyl-valyl, N-methyl-norvalyl, N-methyl-isoleucyl, N-methyl-2-tert-butylglycyl, N-methyl-2-ethylglycyl, or N-methyl-norleucyl residue;

D is a prolyl, or thiazolidine-4-carbonyl residue;

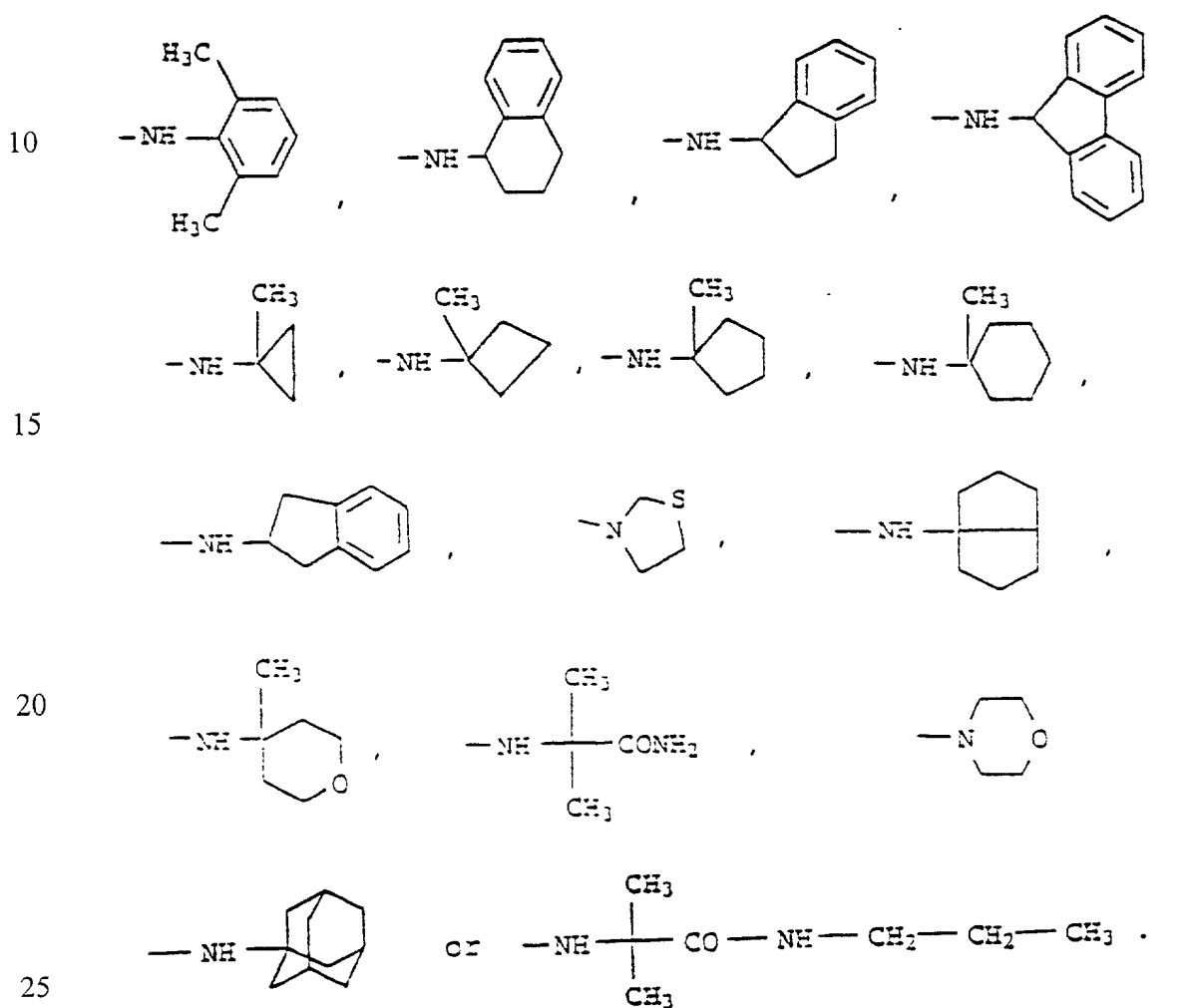
25 E is a prolyl, homoprolyl, thiazolidine-4-carbonyl, trans-4-fluoro-L-prolyl, cis-4-fluoro-L-prolyl, trans-4-chloro-L-prolyl or cis-4-chloro-L-prolyl residue;

X is ethyl, propyl, isopropyl, sec. butyl, tert.-butyl, or cyclopropyl;

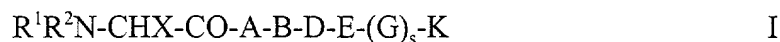
G is a L-2-tert.butylglycyl, D-2-terr.butylglycyl, D-valyl, D-isoleucyl, D-leucyl, or 2,2-dimethylglycyl residue;

s is 0 or 1;

K is  $\text{-NH-C}_{1-8}\text{-alkyl}$ ,  $\text{-NH-C}_{6-8}\text{-cycloalkyl}$ ,  $\text{-NH-CH}_2\text{-cyclohexyl}$ ,  $\text{C}_{1-4}\text{-alkyl-N-C}_{1-6}\text{-alkyl}$ , in which residues one  $\text{CH}_2$  group may be replaced by O, one H by phenyl or 1 or 2 H by F, except the N-methoxy-N-methylamino, N-benzylamino or N-methyl-N-benzylamino residue, or K is



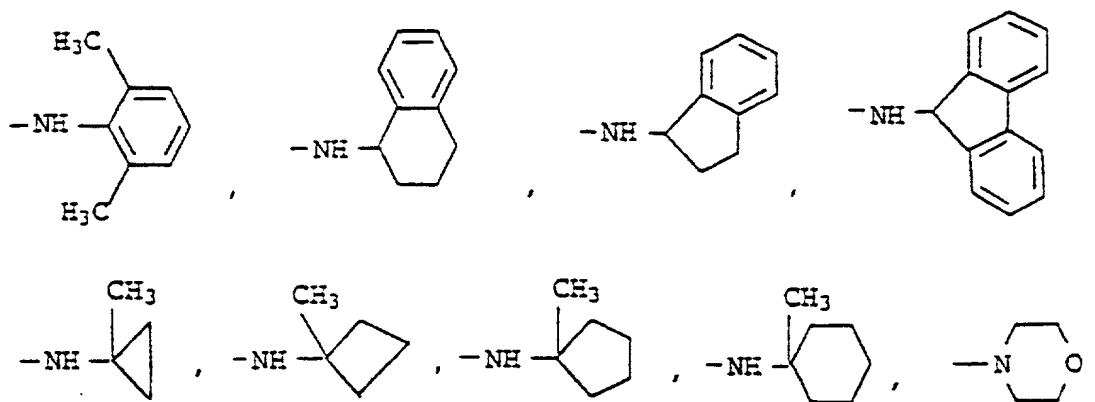
## 4. Novel peptides of the formula I



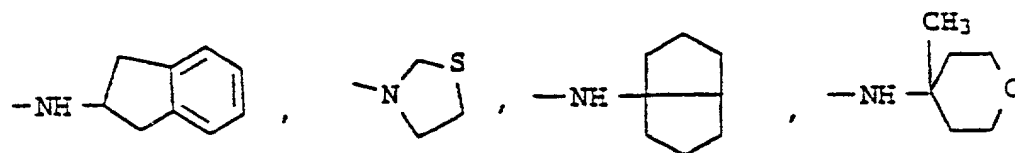
where

- $R^1$  is methyl;  
 5  $R^2$  is methyl;  
 $A$  is a valyl, isoleucyl, 2-tert-butylglycyl, or 2-ethylglycyl;  
 $B$  is a N-methyl-valyl, N-methyl-isoleucyl, N-methyl-2-tert-butylglycyl, N-methyl-2-ethylglycyl, or N-methyl-norleucyl residue;  
 $D$  is a prolyl, or thiazolidine-4-carbonyl residue;  
 10  $E$  is a prolyl, trans-4-fluoro-L-prolyl, cis-4-fluoro-L-prolyl, trans-4-chloro-L-prolyl or cis-4-chloro-L-prolyl residue;  
 $X$  is ethyl, isopropyl, sec. butyl, or tert. butyl ;  
 $G$  is a L-2-tert. butylglycyl, D-2-terr. butylglycyl, D-valyl, D-isoleucyl, D-leucyl, or 2,2-dimethylglycyl residue;  
 15  $s$  is 0 or 1;  
 $K$  is  $-NH-C_{1-8}$ -alkyl,  $-NH-C_{6-8}$ -cycloalkyl,  $-NH-CH_2$ -cyclohexyl,  $C_{1-4}$ -alkyl- $N-C_{1-6}$ -alkyl, in which residues one  $CH_2$  group may be replaced by O, one H by phenyl or 1 or 2 H by F, except the N-methoxy-N-methylamino, N-benzylamino or N-methyl-N-benzylamino residue, or K is

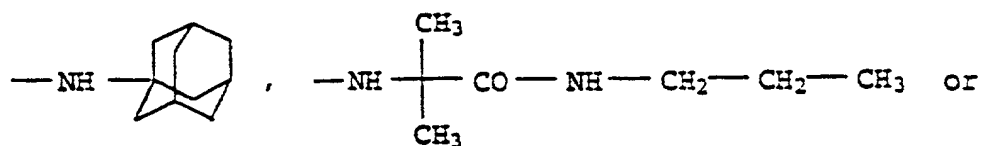
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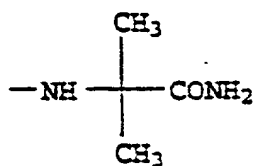
-53-



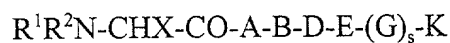
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## 5. Novel peptides of the formula I



I

where

15

 $R^1$  is methyl; $R^2$  is methyl;

A is a valyl, isoleucyl, or 2-tert-butylglycyl residue;

B is a N-methyl-valyl, N-methyl-isoleucyl, or N-methyl-2-tert-butylglycyl residue;

20

D is a prolyl, or thiazolidine-4-carbonyl residue;

E is a prolyl, cis-4-fluoro-L-prolyl or cis-4-chloro-L-prolyl residue;

X is isopropyl, sec. butyl, or tert.-butyl ;

s is 0 or 1;

K is  $-NHC(CH_3)_3$ ,  $-NHCH(CH_2CH_2)CH(CH_3)_2$ ,  $-NHCH(CH_3)C(CH_3)_3$ ,

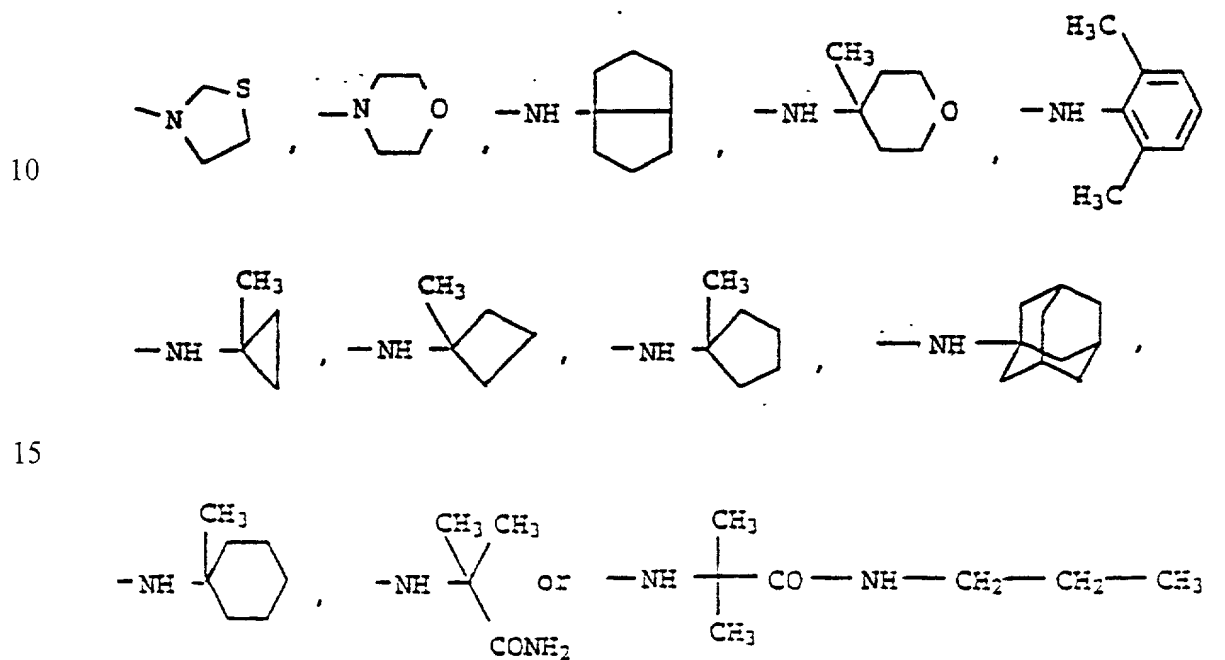
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 $-N(CH_3)OCH_2CH_3$ ,  $-N(CH_3)OCH_2CH_2CH_3$ ,  $-N(CH_3)OCH(CH_3)_2$ , $-N(CH_3)O(CH_2)_3CH_3$ ,  $-N(CH_3)OCH_2C_6H_5$ ,  $-NHC(CH_3)_2C_6H_5$ , $-NHC(CH_3)_2CH_2CH_3$ ,  $-NHC(CH_3)(CH_2CH_3)_2$ , $-NHCH[CH(CH_3)_2]_2$ ,  $-NHC(CH_3)_2CN$ ,  $-NHCH(CH_3)CH(OH)C_6H_5$ , $-NH-C(CH_3)_2CH=CH_2$ ,  $-NHC(CH_3)_2C\equiv CH$ ,



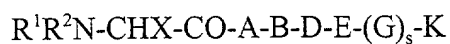
- 5
- NHC(CH<sub>2</sub>CH<sub>3</sub>)<sub>2</sub>C≡CH, -NHC(CH<sub>3</sub>)<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>OH,  
 -NHC(CH<sub>3</sub>)<sub>2</sub>CH(CH<sub>3</sub>)<sub>2</sub>, -NHC(CH<sub>3</sub>)<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>,  
 -NHC(CH<sub>3</sub>)<sub>2</sub>CH<sub>2</sub>C<sub>6</sub>H<sub>5</sub>, -N(OCH<sub>3</sub>)CH(CH<sub>3</sub>)<sub>2</sub>, -N(OCH<sub>3</sub>)CH<sub>2</sub>CH<sub>3</sub>,  
 -N(OCH<sub>3</sub>)CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, -N(OCH<sub>3</sub>)CH<sub>2</sub>C<sub>6</sub>H<sub>5</sub>, -N(OCH<sub>3</sub>)C<sub>6</sub>H<sub>5</sub>,  
 -N(CH<sub>3</sub>)OC<sub>6</sub>H<sub>5</sub>, -N(OCH<sub>3</sub>)CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>,

or K is



and the salts thereof with physiologically tolerated acids.

6. Novel peptides of the formula I



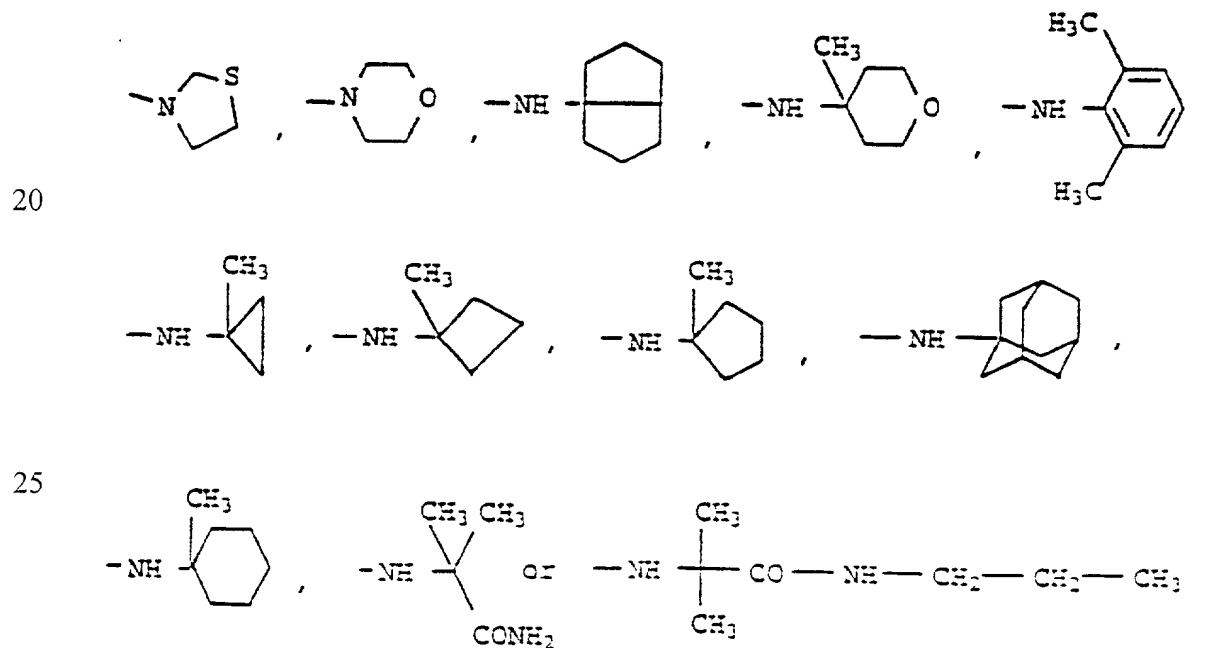
I

25 where

- R<sup>1</sup> is methyl;  
 R<sup>2</sup> is methyl;  
 A is a valyl residue;  
 B is a N-methyl-valyl residue;

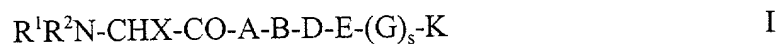
- D is a prolyl residue;  
 E is a prolyl residue;  
 X is isopropyl ;  
 s is 0 or 1;  
 5 K is  $-\text{NHC}(\text{CH}_3)_3$ ,  $-\text{NHCH}(\text{CH}_2\text{CH}_2)\text{CH}(\text{CH}_3)_2$ ,  $-\text{NHCH}(\text{CH}_3)\text{C}(\text{CH}_3)_3$ ,  
 $-\text{N}(\text{CH}_3)\text{OCH}_2\text{CH}_3$ ,  $-\text{N}(\text{CH}_3)\text{OCH}_2\text{CH}_2\text{CH}_3$ ,  $-\text{N}(\text{CH}_3)\text{OCH}(\text{CH}_3)_2$ ,  
 $-\text{N}(\text{CH}_3)\text{O}(\text{CH}_2)_3\text{CH}_3$ ,  $-\text{N}(\text{CH}_3)\text{OCH}_2\text{C}_6\text{H}_5$ ,  $-\text{NHC}(\text{CH}_3)_2\text{C}_6\text{H}_5$ ,  
 $-\text{NHC}(\text{CH}_3)_2\text{CH}_2\text{CH}_3$ ,  $-\text{NHC}(\text{CH}_3)(\text{CH}_2\text{CH}_3)_2$ ,  
 10  $-\text{NHCH}[\text{CH}(\text{CH}_3)_2]_2$ ,  $-\text{NHC}(\text{CH}_3)_2\text{CN}$ ,  $-\text{NHCH}(\text{CH}_3)\text{CH}(\text{OH})\text{C}_6\text{H}_5$ ,  
 $-\text{NH}-\text{C}(\text{CH}_3)_2\text{CH}=\text{CH}_2$ ,  $-\text{NHC}(\text{CH}_3)_2\text{C}\equiv\text{CH}$ ,  
 $-\text{NHC}(\text{CH}_2\text{CH}_3)_2\text{C}\equiv\text{CH}$ ,  $-\text{NHC}(\text{CH}_3)_2\text{CH}_2\text{CH}_2\text{OH}$ ,  
 $-\text{NHC}(\text{CH}_3)_2\text{CH}(\text{CH}_3)_2$ ,  $-\text{NHC}(\text{CH}_3)_2\text{CH}_2\text{CH}_2\text{CH}_3$ ,  
 $-\text{NHC}(\text{CH}_3)_2\text{CH}_2\text{C}_6\text{H}_5$ ,  $-\text{N}(\text{OCH}_3)\text{CH}(\text{CH}_3)_2$ ,  $-\text{N}(\text{OCH}_3)\text{CH}_2\text{CH}_3$ ,  
 $-\text{N}(\text{OCH}_3)\text{CH}_2\text{CH}_2\text{CH}_3$ ,  $-\text{N}(\text{OCH}_3)\text{CH}_2\text{C}_6\text{H}_5$ ,  $-\text{N}(\text{OCH}_3)\text{C}_6\text{H}_5$ ,  
 15  $-\text{N}(\text{CH}_3)\text{OC}_6\text{H}_5$ ,  $-\text{N}(\text{OCH}_3)\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_3$ ,

or K is



and the salts thereof with physiologically tolerated acids.

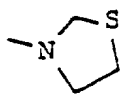
## 7. Novel peptides of the formula I



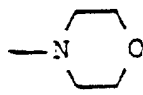
where

- $\text{R}^1$  is methyl;  
 5  $\text{R}^2$  is methyl;  
 $\text{A}$  is a valyl, isoleucyl, or 2-tert-butylglycyl residue;  
 $\text{B}$  is a N-methyl-valyl, N-methyl-isoleucyl, or N-methyl-2-tert-butylglycyl residue;  
 $\text{D}$  is a prolyl, or thiazolidine-4-carbonyl residue;  
 10  $\text{E}$  is a prolyl residue;  
 $\text{X}$  is isopropyl, sec. butyl, or tert.-butyl ;  
 $\text{G}$  is a D-2-tert.butylglycyl, D-isoleucyl, 2,2-dimethylglycyl residue, D-valyl or L-2-tert.butylglycyl;  
 $s$  is 1;  
 15  $\text{K}$  is  $-\text{NHCH}_3$ ,  $-\text{NHCH}_2\text{CH}_3$ ,  $-\text{NH}(\text{CH}_2)_2\text{CH}_3$ ,  $-\text{NH}(\text{CH}_2)_3\text{CH}_3$ ,  $-\text{NH}(\text{CH}_2)_4\text{CH}_3$ ,  $-\text{NH}(\text{CH}_2)_5\text{CH}_3$ ,  $-\text{NHCH}(\text{CH}_3)_2$ ,  $-\text{NHCH}(\text{CH}_3)\text{CH}_2\text{CH}_3$ ,  $-\text{NHCH}(\text{CH}_2\text{CH}_3)_2$ ,  $-\text{NHC}(\text{CH}_3)_3$ ,  $-\text{NH-cyclohexyl}$ ,  $-\text{NHC}(\text{CH}_3)_2\text{CN}$ ,  $-\text{NCH}(\text{CH}_3)_2\text{C}\equiv\text{CH}$  or  $-\text{NHC}(\text{CH}_3)_2\text{CONH}_2$ ;

20 or K is



or



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and the salts thereof with physiologically tolerated acids.

8. Compounds of formula I or salts thereof for use in treating diseases.
9. The method or preparing compounds of formula I according to claim 1  
characterized in that they are prepared according to known methods of peptide  
chemistry.

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